L4 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 15 Apr 2005
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Novel amidine and diamidine compds. (1st of 7 claimed Markush formulas shown as I; variables defined below; e.g. 4,4'-bis(6-amidinobenzimidazol-2-yl)-1,2-diphenylethane tetrahydrochloride (II)) may be useful in the treatment of microbial infections, including mycobacterial, fungal and protozoal infections. Pharmaceutical formulations comprising these compds. can be used in methods of treating microbial infections. Neither pharmacol. activity nor therapeutic use is claimed, but the effectiveness of 11 examples of the claimed compds. against Trypanosoma rhodesiense and Plasmodium falciparum is tabulated. Although the methods of preparation are not claimed, 9 example prepns. of claimed compds. and intermediates are included. For example, II was prepared (64 %) from 4,4'-diformyl-1,2-diphenylethane, 4-amidino-1,2-phenylenediamine hydrochloride hemihydrate and 1,4-benzoquinone in EtOH. For I: X' and X'' = alkyl, alkylene, O, oxy, oxyalkyl, alkyloxy, alkyloxyalkyl, and -C(O)NH(CH2)q-; m, n, p, and q = 0-10; L = hydroxyalkyl, 1,2-oxazole, 1,3-oxazole, Ph, naphthyl, pyrimidine, alkyl-substituted pyrimidine and -CH(CO2R11)- (R11 = H or alkyl); R1-R10 = H, alkyl, hydroxy, oxyalkyl, alkyloxy, halo, aryl, and Y, wherein at least one of R1-R10 = Y, and Y = -C(:NR12)NR13R14, -CH:NNHC(:NR12)NR13R14, and -NHC(NR12)NR13R14 (R12 = H, hydroxy, cycloalkyl, aryl, aralkyl, alkoxyl, hydroxycycloalkyl, alkoxycycloalkyl, hydroxyalkyl, aminoalkyl, acyloxy, and alkylaminoalkyl; R13 and R14 = H, hydroxy, alkyl, alkoxyalkyl, cycloalkyl, aryl, aralkyl, hydroxyalkyl, aminoalkyl, and alkylaminoalkyl; or R12 and R13 together = C2-C10 alkyl, hydroxyalkyl, or alkylene; or R12 and R13 together = (R15)j-substituted o-phenylene (j = 1-3, and R15 is H or Y)).

ACCESSION NUMBER: 2005:324127 CAPLUS
DOCUMENT NUMBER: 142:373841
TITLE: Preparation of novel amidines for treating microbial infections like human African trypanosomiasis and falciparum malaria
INVENTOR(S): Tidwell, Richard R.; Boykin, David; Brun, Reto; Stephens, Chad E.; Kumar, Arvind
PATENT ASSIGNEE(S): University of North Carolina At Chapel Hill, USA; Georgia State University Research Foundation, Inc.
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

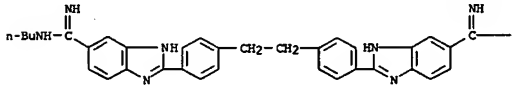
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005033065	A1	20050414	WO 2003-US27963	20030905

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

L4 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: WO 2003-US27963 20030905
OTHER SOURCE(S): MARPAT 142:373841
IT 500714-40-9P, 1,2-Bis[4-(5-[(butylamino)(imino)methyl]benzimidazol-2-yl]phenyl]ethane 500714-75-0P, 1,2-Bis[4-(5-amidinobenzimidazol-2-yl)phenyl]ethane 500714-79-4P, Bis[4-(5-amidinobenzimidazol-2-yl)phenyl]methane 500714-92-1P, 2-[4-[2-(4-Methoxyphenyl)ethyl]phenyl]-1H-benzimidazole-5-carboximidamide 500714-93-2P, 2-[4-[2-(4-Ethylphenyl)ethyl]phenyl]-1H-benzimidazole-5-carboximidamide 500714-94-3P, 2-[4-[2-(4-Fluorophenyl)ethyl]phenyl]-1H-benzimidazole-5-carboximidamide 849623-21-8P, 4,4'-Bis(6-amidinobenzimidazol-2-yl)-1,2-diphenylethane tetrahydrochloride
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of novel amidines for treating microbial infections like human African trypanosomiasis and falciparum malaria)

RN 500714-40-9 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2,2'-(1,2-ethanediyldi-4,1-phenylene)bis[N-butyl- (9CI) (CA INDEX NAME)

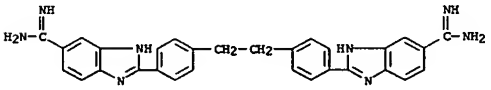
PAGE 1-A



PAGE 1-B

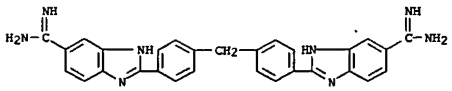
—NHBu-n

RN 500714-75-0 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2,2'-(1,2-ethanediyldi-4,1-phenylene)bis- (9CI) (CA INDEX NAME)

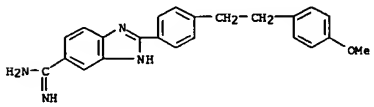


RN 500714-79-4 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2,2'-(methylenedi-4,1-phenylene)bis- (9CI) (CA INDEX NAME)

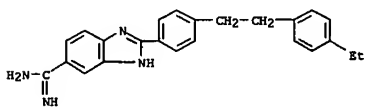
L4 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



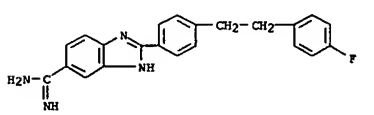
RN 500714-92-1 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2-[4-[2-(4-methoxyphenyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)



RN 500714-93-2 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2-[4-[2-(4-ethylphenyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)

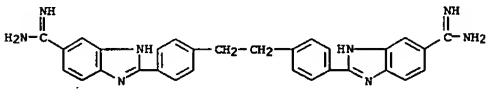


RN 500714-94-3 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2-[4-[2-(4-fluorophenyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)



RN 849623-21-8 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2,2'-(1,2-ethanediyldi-4,1-phenylene)bis-, tetrahydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



●4 HCl

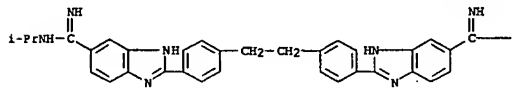
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 11 Mar 2005
AB The invention provides formulations and structural modifications for phenothiazine compds. which result in altered biodistribution, thereby reducing the occurrence of adverse reactions associated with this class of drug.
ACCESSION NUMBER: 2005:216611 CAPLUS
DOCUMENT NUMBER: 142:291340
TITLE: Formulations, conjugates, and combinations of drugs for the treatment of neoplasms
INVENTOR(S): Nichols, James M.; Foley, Michael A.; Keith, Curtis; Padval, Mahesh; Elliott, Peter
PATENT ASSIGNEE(S): Combinatorx, Incorporated, USA
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005020913	A2	20050310	WO 2004-US27695	20040825
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005080075	A1	20050414	US 2004-925835	20040825
PRIORITY APPLN. INFO.:			US 2003-497617P	P 20030825
OTHER SOURCE(S):	MARPAT 142:291340			

IT 216503-05-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(formulations and conjugates and combinations of drugs such as phenothiazines for treatment of neoplasms)
RN 216503-05-8 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2,2'-(1,2-ethanediyldi-4,1-phenylene)bis[N-(1-methylethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



L4 ANSWER 2 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B

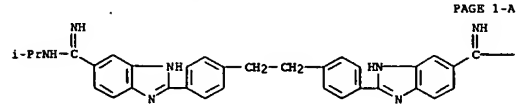
—NHPr-i

L4 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 11 Feb 2005
AB The invention features a method for treating a patient having a cancer or other neoplasm by administering to the patient pentamidine or a pentamidine analog and an antiproliferative agent simultaneously or within 14 days of each other in amts. sufficient to treat the patient. The combination of pentamidine and vinblastine provided improved antiproliferative activity against human non-small cell lung carcinoma A549 cells.
ACCESSION NUMBER: 2005:120654 CAPLUS
DOCUMENT NUMBER: 142:191226
TITLE: Combination of pentamidine or analog and antiproliferative agent drugs for the treatment of neoplasms
INVENTOR(S): Nichols, James M.; Lee, Margaret S.; Keith, Curtis T.; Zhang, Yanzhen; Gaw, Debra A.
PATENT ASSIGNEE(S): Combinatorx, Incorporated, USA
SOURCE: PCT Int. Appl., 71 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005011572	A2	20050210	WO 2004-US23524	20040722
WO 2005011572	A3	20050310		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005054708	A1	20050310	US 2004-895561	20040721
PRIORITY APPLN. INFO.:			US 2003-490759P	P 20030728
OTHER SOURCE(S):	MARPAT 142:191226			

IT 216503-05-8
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination of pentamidine or analog and antiproliferative agent drugs for treatment of neoplasms)
RN 216503-05-8 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2,2'-(1,2-ethanediyldi-4,1-phenylene)bis[N-(1-methylethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

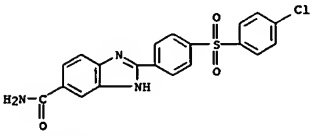


L4 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B

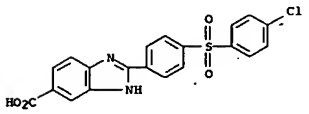
—NHPr-i

L4 ANSWER 4 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 06 Nov 2004
AB The discovery of a series of novel, potent, and highly selective inhibitors of the DNA damage control kinase chk2 is disclosed. Here we report the first SAR study around inhibitors of this kinase. High-throughput screening of purified human chk2 led to the identification of a novel series of 2-arylbenzimidazole inhibitors of the kinase. Optimization was facilitated using homol. models of chk2 and docking of inhibitors, leading to the highly potent 2-arylbenzimidazole 2h (IC50 15 nM). Compound 2h is an ATP-competitive inhibitor of chk2 that dose dependently protects human CD4+ and CD8+ T-cells from apoptosis due to ionizing radiation. This work suggests that a selective small mol. inhibitor of chk2 could be a useful adjuvant to radiotherapy, increasing the therapeutic window of such treatment.
ACCESSION NUMBER: 2004:930363 CAPLUS
DOCUMENT NUMBER: 142:211393
TITLE: Checkpoint Kinase Inhibitors: SAR and Radioprotective Properties of a Series of 2-Arylbenzimidazoles
AUTHOR(S): Arienti, Kristen L.; Brunmark, Anders; Axe, Frank U.; McClure, Kelly; Lee, Alice; Blevitt, Jon; Neff, Danielle K.; Huang, Liming; Crawford, Shelby; Pandit, Chennagiri R.; Karlsson, Lars; Breitenbucher, J. Guy
CORPORATE SOURCE: Johnson Johnson Pharmaceutical Research and Development L.L.C., San Diego, CA, 92121, USA
SOURCE: Journal of Medicinal Chemistry (2005), 48(6), 1873-1885
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 516481-60-0P, 2-[4-(4-Chlorobenzenesulfonyl)phenyl]-1H-benzimidazole-5-carboxylic Acid Amide
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(checkpoint kinase inhibitors with SAR and radioprotective properties of a series of 2-arylbenzimidazoles)
RN 516481-60-0 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[(4-chlorophenyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



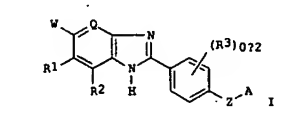
IT 516481-61-1P
RL: PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(checkpoint kinase inhibitors with SAR and radioprotective properties of a series of 2-arylbenzimidazoles)
RN 516481-61-1 CAPLUS

L4 ANSWER 4 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-[(4-chlorophenyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 29 Oct 2004
GI



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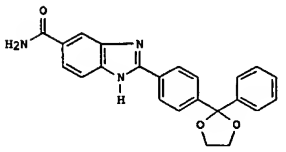


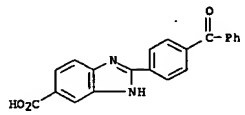
II

AB The invention relates to a preparation of benzimidazole and imidazo[4,5]pyridine derivs. of formula I [wherein: W is CO2H, C(O)NH2, or SO2NH2; Q is N or CH; R1 and R2 are independently selected from H and halogen; Z is C(O), CF2, C:CH2, or CH2, etc.; A is -SO2-piperidinyl derivative, SO2 is attached to the N of piperidinyl; R3 is absent or independently selected from OH, CF3, alkyl, or NO2, etc.], useful as inhibitors of Cds1 and useful as adjuvants to chemotherapy or radiation therapy in the treatment of cancer. For instance, benzimidazole derivative II (IC50 = 55 nM) was prepared via heterocyclization of 3,4-diaminobenzamide and 4-(2-phenyl-[1,3]dioxolan-2-yl)benzaldehyde with a yield of 63% (example 1).
ACCESSION NUMBER: 2004:905625 CAPLUS
DOCUMENT NUMBER: 141:366232
TITLE: A preparation of benzimidazole and imidazo[4,5]pyridine derivatives, useful as Cds1 inhibitors
INVENTOR(S): Amerik, Michael K.; Arienti, Kristen L.; Axe, Frank U.; Breitenbucher, J. Guy
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 54 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

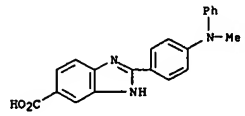
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004214857	A1	20041028	US 2004-825823	20040416
WO 2004093873	A1	20041104	WO 2004-US11775	20040416

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,

L4 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: US 2003-463542P P 20030417
OTHER SOURCE(S): MARPAT 141:366232
IT 780776-28-5P, 2-(4-Benzoylphenyl)-1H-benzoimidazole-5-carboxylic acid 780777-25-5P, 2-[4-(Methylphenylamino)phenyl]-1H-benzoimidazole-5-carboxylic acid
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of benzimidazole or imidazo[4,5]pyridine derivs., useful as Cds1 inhibitors)
RN 780776-28-5 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-(4-benzoylphenyl)- (9CI) (CA INDEX NAME)



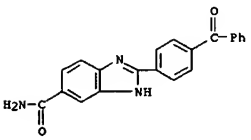
RN 780777-25-5 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-(methylphenylamino)phenyl]- (9CI) (CA INDEX NAME)



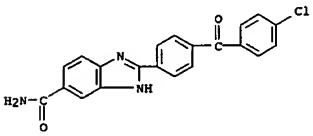
IT 780776-26-3P, 2-(4-Benzoylphenyl)-1H-benzoimidazole-5-carboxylic acid amide 780776-30-9P, 2-[4-(4-Chlorobenzoyl)phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780776-38-7P, 2-[4-(4-Methylbenzoyl)phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780776-39-8P, 2-[4-(4-Methoxybenzoyl)phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780776-40-1P, 2-[4-(Naphthalene-2-carbonyl)phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780776-42-3P, 2-[4-(4-Chloro-3-trifluoromethylbenzoyl)phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780776-44-5P, 2-[4-(3-Bromo-4,5-dimethoxybenzoyl)phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780776-49-0P, 2-[4-(3,4-Dichlorobenzoyl)phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780776-53-6P, 2-[4-(4-Ethylbenzoyl)phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780776-55-8P 780776-58-1P 780776-69-4P, 2-[4-(Hydroxyphenylmethyl)phenyl]-1H-benzoimidazole-5-carboxylic acid

L4 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
amide 780776-71-8P, 2-[4-[(4-Chlorophenyl)hydroxymethyl]phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780776-77-4P, 2-[4-(Hydroxynaphthalen-2-ylmethyl)phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780776-85-4P 780776-88-7P, 2-[4-[(4-Ethylphenyl)hydroxymethyl]phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780776-92-3P, 2-[4-[(2,3-Dihydrobenzo[1,4]dioxin-6-yl)-hydroxymethyl]phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780777-55-1P 780777-60-8P, 2-[4-(2,4-Dichlorobenzoyl)phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780777-62-0P, 2-[4-(2-Methoxybenzoyl)phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780777-64-2P, 2-[4-(2-Methylbenzoyl)phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780777-69-7P, 2-[4-[(6-Chlorobenzo[1,3]dioxol-5-yl)-hydroxymethyl]phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780777-75-5P 780777-76-6P, 2-[4-(Hydroxy-o-tolylmethyl)phenyl]-1H-benzoimidazole-5-carboxylic acid amide
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of benzimidazole or imidazo[4,5]pyridine derivs., useful as Cdsl inhibitors)

RN 780776-26-3 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-(4-benzoylphenyl)- (9CI) (CA INDEX NAME)

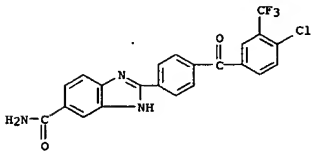


RN 780776-30-9 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-(4-chlorobenzoyl)phenyl]- (9CI) (CA INDEX NAME)

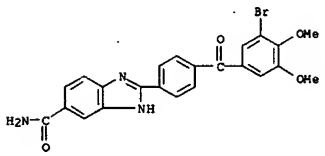


RN 780776-38-7 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-(4-methylbenzoyl)phenyl]- (9CI) (CA INDEX NAME)

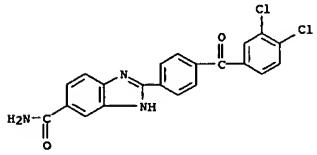
L4 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 780776-44-5 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-(3-bromo-4,5-dimethoxybenzoyl)phenyl]- (9CI) (CA INDEX NAME)

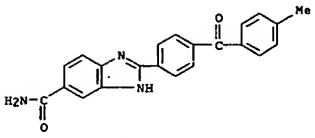


RN 780776-49-0 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-(3,4-dichlorobenzoyl)phenyl]- (9CI) (CA INDEX NAME)

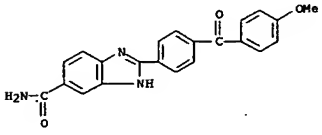


RN 780776-53-6 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-(4-ethylbenzoyl)phenyl]- (9CI) (CA INDEX NAME)

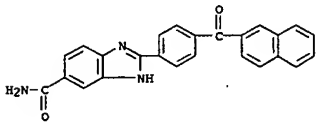
L4 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 780776-39-8 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-(4-methoxybenzoyl)phenyl]- (9CI) (CA INDEX NAME)

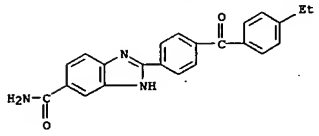


RN 780776-40-1 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-(2-naphthalenylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)

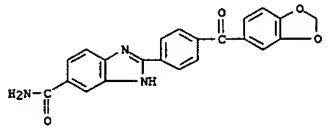


RN 780776-42-3 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[4-chloro-3-(trifluoromethyl)benzoyl]phenyl]- (9CI) (CA INDEX NAME)

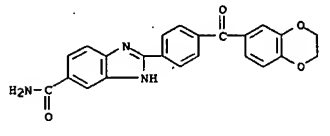
L4 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



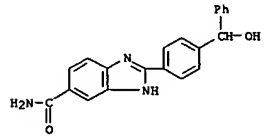
RN 780776-55-8 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-(1,3-benzodioxol-5-ylcarbonyl)phenyl]- (9CI) (CA INDEX NAME)



RN 780776-58-1 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[(2,3-dihydro-1,4-benzodioxin-6-yl)carbonyl]phenyl]- (9CI) (CA INDEX NAME)

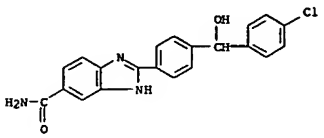


RN 780776-69-4 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-(hydroxyphenylmethyl)phenyl]- (9CI) (CA INDEX NAME)

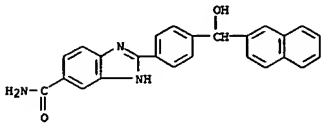


RN 780776-71-8 CAPLUS

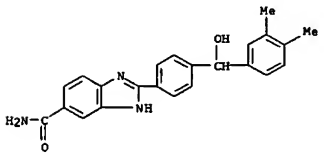
L4 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[(4-chlorophenyl)hydroxymethyl]phenyl]- (9CI) (CA INDEX NAME)



RN 780776-77-4 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-(hydroxy-2-naphthalenylmethyl)phenyl]- (9CI) (CA INDEX NAME)

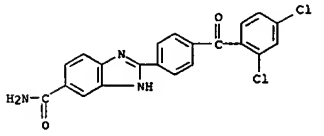


RN 780776-85-4 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[(3,4-dimethylphenyl)hydroxymethyl]phenyl]- (9CI) (CA INDEX NAME)

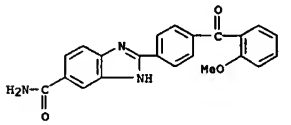


RN 780776-88-7 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[(4-ethylphenyl)hydroxymethyl]phenyl]- (9CI) (CA INDEX NAME)

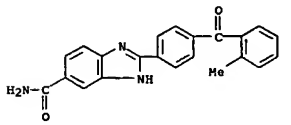
L4 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



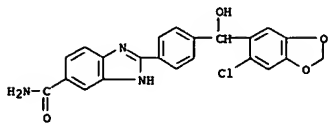
RN 780777-62-0 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-(2-methoxybenzoyl)phenyl]- (9CI) (CA INDEX NAME)



RN 780777-64-2 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-(2-methylbenzoyl)phenyl]- (9CI) (CA INDEX NAME)

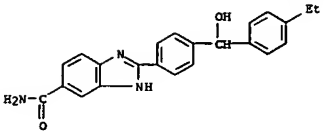


RN 780777-69-7 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[(6-chloro-1,3-benzodioxol-5-yl)hydroxymethyl]phenyl]- (9CI) (CA INDEX NAME)

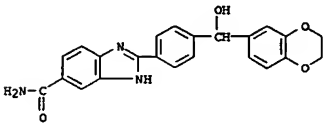


RN 780777-75-5 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[hydroxy(2-

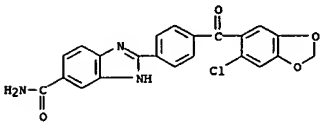
L4 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 780776-92-3 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[(2,3-dihydro-1,4-benzodioxin-6-yl)hydroxymethyl]phenyl]- (9CI) (CA INDEX NAME)

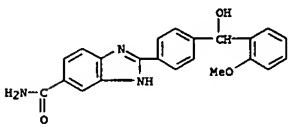


RN 780777-55-1 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[(6-chloro-1,3-benzodioxol-5-yl)carbonyl]phenyl]- (9CI) (CA INDEX NAME)

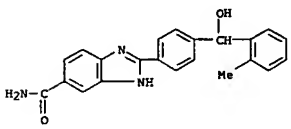


RN 780777-60-9 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-(2,4-dichlorobenzoyl)phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
methoxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)



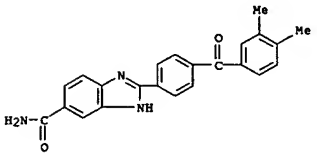
RN 780777-76-6 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[hydroxy(2-methylphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)



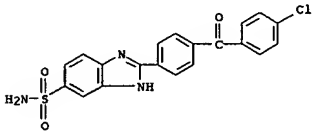
IT 780776-51-4P, 2-[4-(3,4-Dimethylbenzoyl)phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780776-67-2P, 2-[4-(4-Chlorobenzoyl)phenyl]-1H-benzoimidazole-5-sulfonic acid amide 780776-73-0P, 2-[4-(Hydroxy-p-tolylmethyl)phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780776-75-2P 780776-79-6P, 2-[4-[(4-Chloro-3-trifluoromethylphenyl)hydroxymethyl]phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780776-81-0P, 2-[4-[(3-Bromo-4,5-dimethoxyphenyl)hydroxymethyl]phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780776-83-2P 780777-00-6P, 2-[4-(Methoxyphenylmethyl)phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780777-02-8P, 2-[4-(4-Chlorobenzyl)phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780777-04-0P, 2-[4-(Naphthalen-2-ylmethyl)phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780777-06-2P, 2-[4-(3,4-Dimethylbenzyl)phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780777-08-4P, 2-[4-(4-Ethylbenzyl)phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780777-10-8P, 2-[4-(2,3-Dihydrobenzo[1,4]dioxin-6-ylmethyl)phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780777-14-2P, 2-[4-[1-(4-Chlorophenyl)vinyl]phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780777-16-4P, 2-[4-[1-(4-Chlorophenyl)ethyl]phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780777-19-7P, 2-[4-[(4-Chlorophenyl)piperazin-1-ylmethyl]phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780777-20-0P 780777-21-1P, 2-[4-(Methylphenylamino)phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780777-58-4P, 2-[4-(2-Chlorobenzoyl)phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780777-71-1P, 2-[4-[(2-Chlorophenyl)hydroxymethyl]phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780777-73-3P 780777-78-8P, 2-[4-(6-Chlorobenzo[1,3]dioxol-5-ylmethyl)phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780777-80-2P, 2-[4-(2-Methoxybenzyl)phenyl]-1H-benzoimidazole-5-carboxylic acid amide 780777-82-4P,

L4 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
2-[4-(2-Methylbenzyl)phenyl]-1H-benzoimidazole-5-carboxylic acid amide **780778-69-0P**, 2-[4-[(2-Aminoethoxy)-(4-chlorophenyl)methyl]phenyl]-1H-benzoimidazole-5-carboxylic acid amide **780778-71-4P**, 2-[4-[(4-Chlorophenyl)difluoromethyl]phenyl]-1H-benzoimidazole-5-carboxylic acid amide **780778-73-6P** **780778-75-8P**, 2-[4-[1-(4-Chlorophenyl)-1-methylethyl]phenyl]-1H-benzoimidazole-5-carboxylic acid amide **780778-77-0P**, 2-[4-[(4-Chlorophenyl)cyanomethyl]phenyl]-1H-benzoimidazole-5-carboxylic acid amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of benzimidazole or imidazo[4,5]pyridine derivs., useful as Cdk1 inhibitors)

RN 780776-51-4 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-(3,4-dimethylbenzoyl)phenyl]- (9CI) (CA INDEX NAME)

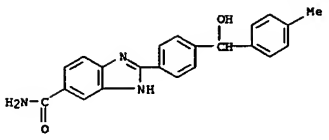


RN 780776-67-2 CAPLUS
CN 1H-Benzimidazole-5-sulfonamide, 2-[4-(4-chlorobenzoyl)phenyl]- (9CI) (CA INDEX NAME)

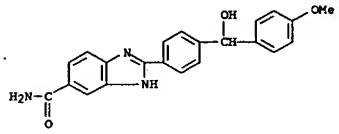


RN 780776-73-0 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[hydroxy(4-methylphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

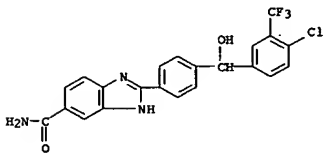
L4 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 780776-75-2 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[hydroxy(4-methoxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

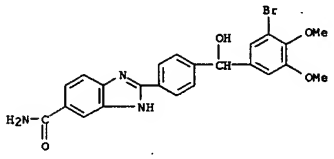


RN 780776-79-6 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[[4-chloro-3-(trifluoromethyl)phenyl]hydroxymethyl]phenyl]- (9CI) (CA INDEX NAME)

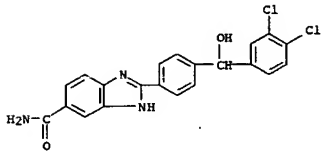


RN 780776-81-0 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[(3-bromo-4,5-dimethoxyphenyl)hydroxymethyl]phenyl]- (9CI) (CA INDEX NAME)

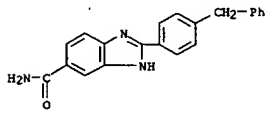
L4 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



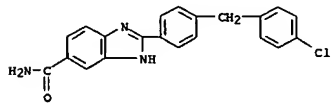
RN 780776-83-2 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[(3,4-dichlorophenyl)hydroxymethyl]phenyl]- (9CI) (CA INDEX NAME)



RN 780777-00-6 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-(phenylmethyl)phenyl]- (9CI) (CA INDEX NAME)

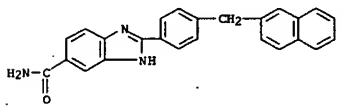


RN 780777-02-8 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[(4-chlorophenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

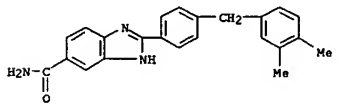


RN 780777-04-0 CAPLUS

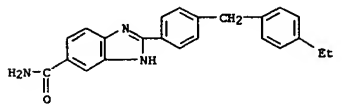
L4 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 1H-Benzimidazole-5-carboxamide, 2-[4-(2-naphthalenylmethyl)phenyl]- (9CI) (CA INDEX NAME)



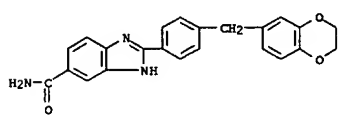
RN 780777-06-2 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[(3,4-dimethylphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 780777-08-4 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[(4-ethylphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

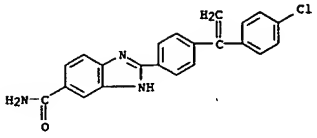


RN 780777-10-8 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[(2,3-dihydro-1,4-benzodioxin-6-yl)methyl]phenyl]- (9CI) (CA INDEX NAME)

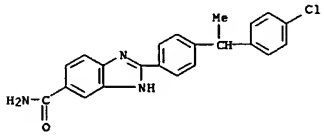


RN 780777-14-2 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[1-(4-chlorophenyl)ethenyl]phenyl]- (9CI) (CA INDEX NAME)

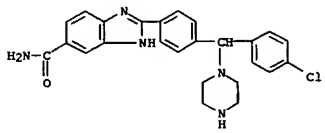
L4 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



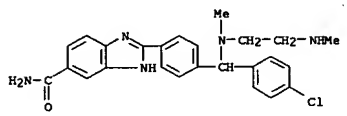
RN 780777-18-6 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[1-(4-chlorophenyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)



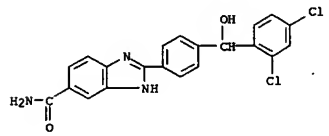
RN 780777-19-7 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[(4-chlorophenyl)-1-piperazinylmethyl]phenyl]- (9CI) (CA INDEX NAME)



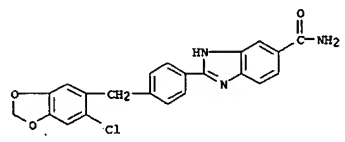
RN 780777-20-0 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[(4-chlorophenyl)[methyl[2-(methylamino)ethyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



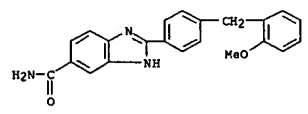
L4 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



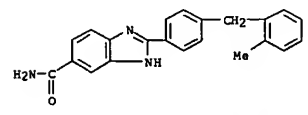
RN 780777-78-8 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[(6-chloro-1,3-benzodioxol-5-yl)methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 780777-80-2 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[(2-methoxyphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)



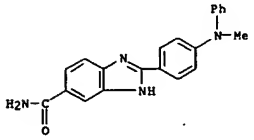
RN 780777-82-4 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[(2-methylphenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)



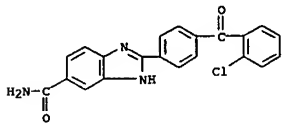
RN 780778-69-0 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[(2-aminoethoxy)(4-chlorophenyl)methyl]phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

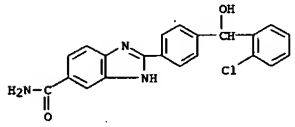
RN 780777-21-1 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-(methylphenylamino)phenyl]- (9CI) (CA INDEX NAME)



RN 780777-58-4 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-(2-chlorobenzoyl)phenyl]- (9CI) (CA INDEX NAME)

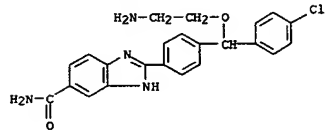


RN 780777-71-1 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[(2-chlorophenyl)hydroxymethyl]phenyl]- (9CI) (CA INDEX NAME)

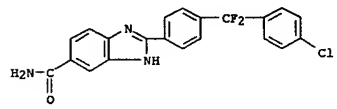


RN 780777-73-3 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[(2,4-dichlorophenyl)hydroxymethyl]phenyl]- (9CI) (CA INDEX NAME)

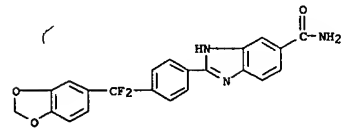
L4 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



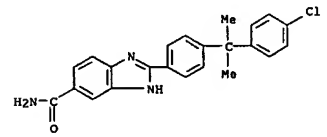
RN 780778-71-4 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[(4-chlorophenyl)difluoromethyl]phenyl]- (9CI) (CA INDEX NAME)



RN 780778-73-6 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-(1,3-benzodioxol-5-yldifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

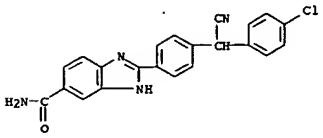


RN 780778-75-8 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[1-(4-chlorophenyl)-1-methylethyl]phenyl]- (9CI) (CA INDEX NAME)

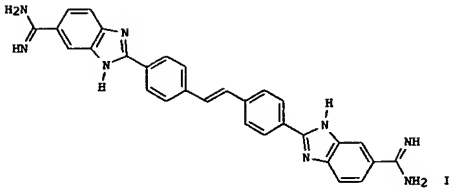


RN 780778-77-0 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[(4-chlorophenyl)cyanomethyl]phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



L4 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 11 May 2004
GI



AB The synthesis of extended dicationic bis-benzimidazoles, e.g., I, starting from trans-2-bis(4-cyanophenyl)ethene and trans-1,2-bis(4-cyanophenyl)cyclopropane is reported. The target diamidines show significant in vitro activity against B. subtilis.

ACCESSION NUMBER: 2004:377355 CAPLUS
DOCUMENT NUMBER: 142:113964
TITLE: The synthesis of dicationic extended bis-benzimidazoles
AUTHOR(S): Kang, Zhijan; Dykstra, Christine C.; Boykin, David W.
CORPORATE SOURCE: Department of Chemistry, Georgia State University, Atlanta, GA, 30303, USA
SOURCE: Molecules (2004), 9(3), 158-163
CODEN: MOLEFW; ISSN: 1420-3049
URL: http://www.mdpi.net/molecules/papers/90200158.pdf
PUBLISHER: Molecular Diversity Preservation International
DOCUMENT TYPE: Journal; (online computer file)
LANGUAGE: English

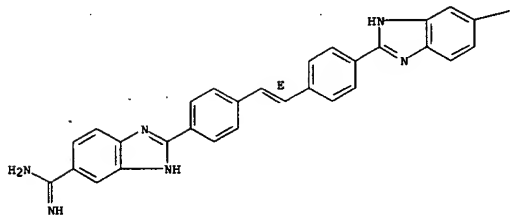
IT **823819-53-0P 823819-54-1P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antibacterial activity of bis(amidinobenzimidazolylphenyl)ethylenes via hydride reduction of bis(cyanophenyl)ethylene followed by heterocyclization with diaminobenzamidines)

RN 823819-53-0 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2,2'-[(1E)-1,2-ethenediyldi-4,1-phenylene]bis-, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L4 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B

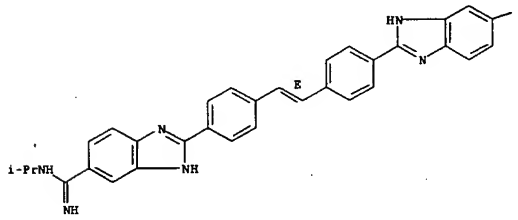
●2 HCl

RN 823819-54-1 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2,2'-[(1E)-1,2-ethenediyldi-4,1-phenylene]bis[N-(1-methylethyl)-, dihydrochloride (9CI) (CA INDEX NAME)

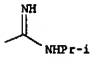
Double bond geometry as shown.

L4 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B



●2 HCl

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 26 Jan 2004

AB The invention features a method for treating a patient having a cancer or other neoplasm, by administering to the patient (i) a benzimidazole or a metabolite or analog thereof; and (ii) pentamidine or a metabolite or analog thereof simultaneously or within 14 days of each other in amts. sufficient to inhibit the growth of the neoplasm.

ACCESSION NUMBER: 2004:60255 CAPLUS
DOCUMENT NUMBER: 140:105258
TITLE: Benzimidazole compound-pentamidine compound combinations for the treatment of neoplasms
INVENTOR(S): Borisy, Alexis; Keith, Curtis; Foley, Michael A.; Stockwell, Brent R.; Gaw, Debra A.
PATENT ASSIGNEE(S): Combinatorx, Incorporated, USA
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004006849	A2	20040122	WO 2003-US21984	20030715
WO 2004006849	A3	20040603		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

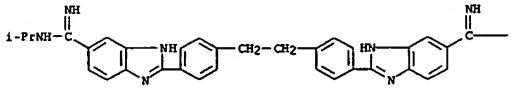
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-396151P P 20020715
OTHER SOURCE(S): MARPAT 140:105258

IT 216503-05-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(benzimidazole compound-pentamidine compound combinations for the treatment of neoplasms)

RN 216503-05-8 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2,2'-(1,2-ethanediyldi-4,1-phenylene)bis[N-(1-methylethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



L4 ANSWER 7 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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—NHPr-i

L4 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 26 Jan 2004

AB The invention features a method for treating a patient having a cancer or other neoplasm by administering to the patient pentamidine (or an analog thereof) and chlorpromazine (or an analog thereof) simultaneously or within 14 days of each other in amts. sufficient to treat the patient.

ACCESSION NUMBER: 2004:60249 CAPLUS
DOCUMENT NUMBER: 140:122767
TITLE: Pentamidine compound-chlorpromazine compound combinations for the treatment of neoplasms
INVENTOR(S): Borisy, Alexis; Keith, Curtis; Foley, Michael A.; Stockwell, Brent R.; Gaw, Debra A.; Nichols, M. James; Lee, Margaret S.
PATENT ASSIGNEE(S): Combinatorx, Incorporated, USA
SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004006842	A2	20040122	WO 2003-US21803	20030711
WO 2004006842	A3	20040527		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2492059	AA	20040122	CA 2003-2492059	20030711
US 2004116407	A1	20040617	US 2003-617424	20030711
BR 2003012597	A	20050510	BR 2003-12597	20030711
EP 1545544	A2	20050629	EP 2003-764557	20030711

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

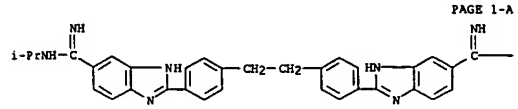
PRIORITY APPLN. INFO.: US 2002-395233P P 20020711
WO 2003-US21803 W 20030711

OTHER SOURCE(S): MARPAT 140:122767

IT 216503-05-8
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pentamidine compound-chlorpromazine compound combinations for the treatment of neoplasms)

RN 216503-05-8 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2,2'-(1,2-ethanediyldi-4,1-phenylene)bis[N-(1-methylethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A



L4 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-B

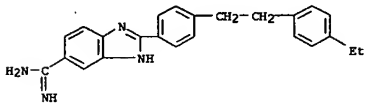
—NHPr-i

L4 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 21 Dec 2003
AB The present invention relates to the use of amidine compds. in the treatment of amyloid related diseases. In particular, the invention relates to a method of treating or preventing an amyloid-related disease in a subject comprising administering to the subject a therapeutic amount of an amidine compound Among the compds. for use according to the invention are those according to the following Formulas, such that, when administered, amyloid fibril formation, neurodegeneration, or cellular toxicity is reduced or inhibited.
ACCESSION NUMBER: 2003:991295 CAPLUS
DOCUMENT NUMBER: 140:35966
TITLE: Amidine derivatives for treating amyloidosis and neurodegenerative diseases
INVENTOR(S): Chalifour, Robert J.; Kong, Xianqi; Wu, Xinfu; Lu, Wenshuo; Tidwell, Richard R.; Boykin, David
PATENT ASSIGNEE(S): University of North Carolina At Chapel Hill, USA; Georgia State University Research Foundation, Inc.
SOURCE: PCT Int. Appl., 92 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

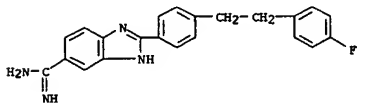
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003103598	A2	20031218	WO 2003-US17992	20030609
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2488493	AA	20031218	CA 2003-2488493	20030609
EP 1572129	A2	20050914	EP 2003-757414	20030609
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2004147531	A1	20040729	US 2003-731463	20031205
PRIORITY APPLN. INFO.:			US 2002-387001P P	20020607
			US 2001-316761P P	20010831
			US 2002-234643 A1	20020903
			WO 2003-US17992 W	20030609

IT **500714-40-9 500714-75-0 500714-79-4 500714-92-1 500714-93-2 500714-94-3**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of amidine derivs. for treating amyloidosis and neurodegenerative diseases)
RN 500714-40-9 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2,2'-(1,2-ethanediyldi-4,1-phenylene)bis[N-butyl- (9CI) (CA INDEX NAME)

L4 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN 1H-Benzimidazole-5-carboximidamide, 2-[4-[2-(4-ethylphenyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)

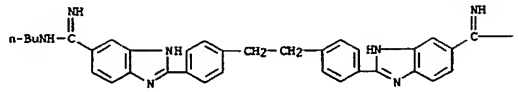


RN 500714-94-3 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2-[4-[2-(4-fluorophenyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

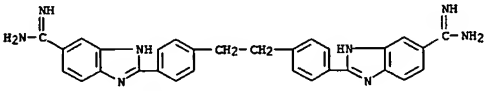
PAGE 1-A



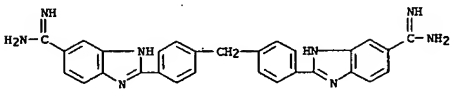
PAGE 1-B

— NHBu-n

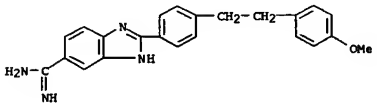
RN 500714-75-0 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2,2'-(1,2-ethanediyldi-4,1-phenylene)bis- (9CI) (CA INDEX NAME)



RN 500714-79-4 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2,2'-(methylenedi-4,1-phenylene)bis- (9CI) (CA INDEX NAME)

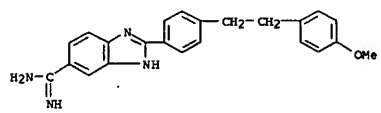


RN 500714-92-1 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2-[4-[2-(4-methoxyphenyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)



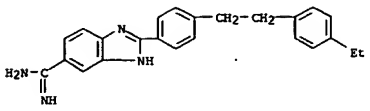
RN 500714-93-2 CAPLUS

L4 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 06 Jul 2003
AB Bovine viral diarrhea virus (BVDV) is an economically significant pathogen of cattle and a problematic contaminant in the laboratory. BVDV is often used as an in vitro model for hepatitis C virus during drug discovery efforts. Aromatic dicationic mols. have exhibited inhibitory activity against several RNA viruses. Thus, the purpose of this research was to develop and apply a method for screening the aromatic cationic compds. for in vitro cytotoxicity and activity against a noncytopathic strain of BVDV. The screening method evaluated the concentration of BVDV in medium and cell lysates after 72 h of cell culture in the presence of either a 25 or 5 μM concentration of the test compound Five of 93 screened compds. were selected for further determination of inhibitory (90 and 50%) and cytotoxic (50 and 10%) concentration endpoints. The screening method identified compds. that exhibited inhibition of BVDV at nanomolar concns. while exhibiting no cytotoxicity at 25 μM concns. The leading compds. require further investigation to determine their mechanism of action, in vivo activity, and specific activity against hepatitis C virus.
ACCESSION NUMBER: 2003:513253 CAPLUS
DOCUMENT NUMBER: 139:390750
TITLE: Detection of inhibition of bovine viral diarrhea virus by aromatic cationic molecules
AUTHOR(S): Givens, M. Daniel; Dykstra, Christine C.; Brock, Kenny V.; Stringfellow, David A.; Kumar, Arvind; Stephens, Chad E.; Goker, Hakan; Boykin, David W.
CORPORATE SOURCE: Department of Pathobiology, College of Veterinary Medicine, Auburn University, Auburn, AL, 36849, USA
SOURCE: Antimicrobial Agents and Chemotherapy (2003), 47(7), 2223-2230
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:390750
IT **500714-92-1 500714-93-2 500714-94-3 625459-61-2 625459-68-9 625459-75-8**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibition of bovine viral diarrhea virus by aromatic cationic mols.)
RN 500714-92-1 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2-[4-[2-(4-methoxyphenyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)

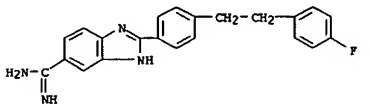


RN 500714-93-2 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2-[4-[2-(4-ethylphenyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)

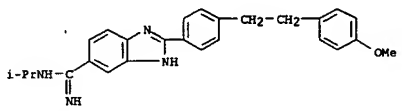
L4 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



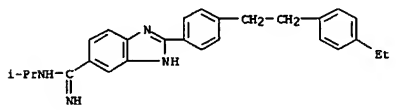
RN 500714-94-3 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2-[4-[2-(4-fluorophenyl)ethyl]phenyl]-(9CI) (CA INDEX NAME)



RN 625459-61-2 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2-[4-[2-(4-methoxyphenyl)ethyl]phenyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

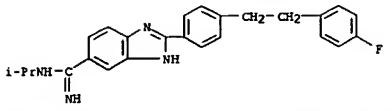


RN 625459-68-9 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2-[4-[2-(4-ethylphenyl)ethyl]phenyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



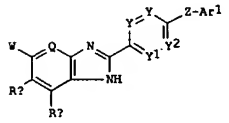
RN 625459-75-8 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2-[4-[2-(4-fluorophenyl)ethyl]phenyl]-N-(1-methylethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 25 Apr 2003
GI



I

AB 2-Acyl-substituted benzimidazoles and imidazo[4,5]pyridines (shown as I; e.g. 2-[4-(4-chlorophenoxy)phenyl]-1H-benzimidazole-5-carboxylic acid amide (II)) are disclosed as inhibitors of Cds1 and useful as adjuvants to chemotherapy or radiation therapy in the treatment of cancer. For I: W is COOH, -C(O)NHR1, or -SO2NHR1 (R1 is H or C1-4alkyl); Q is N or CH; Ra and Rb are H or halogen; Y, Y1 and Y2 = N and C-Rc with the proviso that 0, 1 or 2 of Y, Y1 and Y2 are N and at least 2 of Rc must be H; Rc = -H, -OH, -C1-6alkyl, -SCF3, halo, -CF3 and -OCF3; Z = O, S, SO, SO2, SO2NR2, NR2SO2, NH, CONR2, piperazinediyl or a covalent bond; R2 is H or C1-4alkyl; Ar1 is an aromatic group as defined in the claims. IC50 values are reported for inhibition of human Cds1 checkpoint kinase by 103 examples of I, e.g. 3 nM for 2-[4-(4-chloro-3-trifluoromethylphenoxy)phenyl]-1H-benzimidazole-5-carboxylic acid amide. Addnl. studies were (i) determination of the effect of II on tumor cell line clonogenic survival, (ii) effect of II on tumor growth in murine xenograft models, (iii) determination of the effect of 14 examples of I on radiation-induced apoptosis in isolated primary cells, and (iv) determination of the effect of II on radiation-induced apoptosis in splenocytes in vivo. Although the methods of preparation are not claimed, .apprx.100 example prepns. are included.

ACCESSION NUMBER: 2003:319709 CAPLUS
DOCUMENT NUMBER: 138:338144
TITLE: Preparation of 2-phenyl benzimidazoles and imidazo-[4,5]pyridines as Cds1/Chk2-inhibitors and adjuvants to chemotherapy or radiation therapy in the treatment of cancer
INVENTOR(S): Arienti, Kristen L.; Axe, Frank U.; Breitenbucher, J. Guy; Huang, Liming; Lee, Alice; McClure, Kelly J.
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003032984	A1	20030424	WO 2002-US33371	20021018
WO 2003032984	C1	20031120		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,

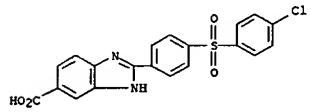
L4 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2464000	AA	20030424	CA 2002-2464000	20021018
US 2003176438	A1	20030918	US 2002-273487	20021018
EP 1435947	A1	20040714	EP 2002-770620	20021018
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002006161	A	20050201	BR 2002-6161	20021018
JP 2005506349	T2	20050303	JP 2003-535787	20021018
NO 2003002759	A	20030818	NO 2003-2759	20030617
ZA 2003005533	A	20041018	ZA 2003-5533	20030717
PRIORITY APPLN. INFO.:			US 2001-330304P	P 20011019
			WO 2002-US33371	W 20021018

OTHER SOURCE(S): MARPAT 138:338144

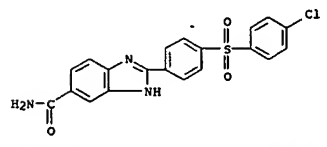
IT 516481-61-1P, 2-[4-(4-Chlorobenzenesulfonyl)phenyl]-1H-benzimidazole-5-carboxylic acid
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of benzimidazoles and imidazopyridines as Cds1/Chk2-inhibitors and adjuvants to chemotherapy or radiation therapy in treatment of cancer)

RN 516481-61-1 CAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 2-[4-[(4-chlorophenyl)sulfonyl]phenyl]-(9CI) (CA INDEX NAME)



IT 516481-60-0P, 2-[4-(4-Chlorobenzenesulfonyl)phenyl]-1H-benzimidazole-5-carboxylic acid amide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of benzimidazoles and imidazopyridines as Cds1/Chk2-inhibitors and adjuvants to chemotherapy or radiation therapy in treatment of cancer)

RN 516481-60-0 CAPLUS
CN 1H-Benzimidazole-5-carboxamide, 2-[4-[(4-chlorophenyl)sulfonyl]phenyl]-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

L4 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 07 Mar 2003

AB The invention discloses the use of amidine compds. in the treatment of amyloid-related diseases (e.g. Alzheimer's disease, Down's syndrome, type II diabetes). In particular, the invention discloses a method for treating or preventing an amyloid-related disease in a subject comprising administering to the subject a therapeutic amount of an amidine compound. The compds. of the invention (Markush included) are such that, when administered, reduce or inhibit amyloid fibril formation, neurodegeneration, or cellular toxicity. Compound preparation is described.

ACCESSION NUMBER: 2003:173414 CAPLUS
DOCUMENT NUMBER: 138:215350
TITLE: Amidine derivatives for treating amyloid-related diseases
INVENTOR(S): Chalifour, Robert J.; Kong, Xianqi; Wu, Xinfu; Lu, Wenshuo
PATENT ASSIGNEE(S): Neurochem Inc., Can.
SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2003017994	A1	20030306	WO 2002-CA1353		20020903
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
CA 2455497	AA	20030306	CA 2002-2455497		20020903
US 2004006092	A1	20040108	US 2002-234643		20020903
EP 1420773	A1	20040526	EP 2002-758012		20020903
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
BR 2002012078	A	20040928	BR 2002-12078		20020903
JP 2005504053	T2	20050210	JP 2003-522514		20020903
US 2004147531	A1	20040729	US 2003-731463		20031205
PRIORITY APPLN. INFO.:			US 2001-316761P	P	20010831
			US 2002-387001P	P	20020607
			US 2002-234643	A1	20020903
			WO 2002-CA1353	W	20020903

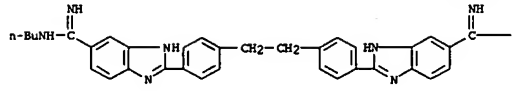
OTHER SOURCE(S): MARPAT 138:215350

IT **500714-40-9 500714-75-0 500714-79-4 500714-92-1 500714-93-2 500714-94-3**
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(amidine derivs. for treating amyloid-related diseases)

RN 500714-40-9 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2,2'-(1,2-ethanediyldi-4,1-phenylene)bis[N-butyl- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

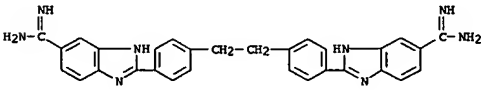
PAGE 1-A



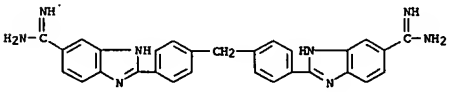
PAGE 1-B

—NHBu-n

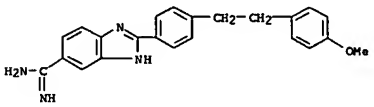
RN 500714-75-0 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2,2'-(1,2-ethanediyldi-4,1-phenylene)bis- (9CI) (CA INDEX NAME)



RN 500714-79-4 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2,2'-(methylenedi-4,1-phenylene)bis- (9CI) (CA INDEX NAME)

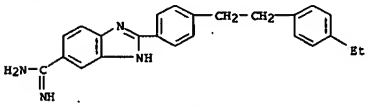


RN 500714-92-1 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2-[4-[2-(4-methoxyphenyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)

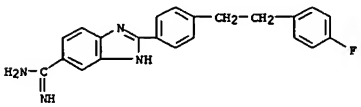


RN 500714-93-2 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2-[4-[2-(4-ethylphenyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)

L4 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

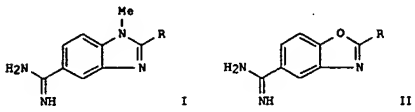


RN 500714-94-3 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2-[4-[2-(4-fluorophenyl)ethyl]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 24 Jun 2001
GI

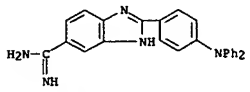


I II

AB Amidino benzimidazoles, such as I (R = C6H4-4-OPh), were identified as inhibitors of the bacterial KinA/Spo0F two-component system (TCS). Many of these inhibitors exhibit good in vitro antibacterial activity against a variety of susceptible and resistant Gram-pos. organisms. The moiety at the 2-position of the benzimidazole was extensively modified. In addition, the regioisomeric benzoxazoles II [R = C6H4-4-OPh, C6H2-2-OH-3,5-(CMe3)2], heterocyclic replacements for the benzimidazole, were synthesized and their activity against the TCS evaluated.

ACCESSION NUMBER: 2001:456650 CAPLUS
DOCUMENT NUMBER: 135:195528
TITLE: Amidino benzimidazole inhibitors of bacterial two-component systems
AUTHOR(S): Weidner-Wells, M. A.; Ohemeng, K. A.; Nguyen, V. N.; Fraga-Spano, S.; Macielag, M. J.; Werblood, H. M.; Foleno, B. D.; Webb, G. C.; Barrett, J. F.; Hlasta, D. J.
CORPORATE SOURCE: Drug Discovery, The R. W. Johnson Pharmaceutical Research Institute, Raritan, NJ, 08869, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2001), 11(12), 1545-1548
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:195528

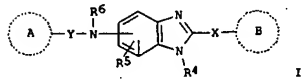
IT 220954-82-5
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(preparation and antibacterial activity of amidino benzimidazole and benzoxazole inhibitors of bacterial two-component systems)
RN 220954-82-5 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2-[4-(diphenylamino)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS

L4 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 04 Apr 2000
GI



I

AB Claimed are gonadotropin-releasing hormone (GnRH) antagonists containing the title compds. [I; ring A = (un)substituted Ph; ring B = (un)substituted cyclic group; R4 , R6 = H, (un)substituted C1-6 alkyl, C2-6 alkenyl, C3-7 cycloalkyl, (un)substituted C7-13 aralkyl, C2-7 alkoxycarbonyl; R5 = H, halo, (un)substituted C1-6 alkyl, C1-6 alkoxy, C2-7 alkoxycarbonyl, etc.; X = bond, C1-6 alkylene, C2-6 alkenylene, C1-6 alkylene-NHCO, C1-6 alkylene-O2NH; Y = CO, SO2, NHCO, C1-6 alkylene-CO, C2-6 alkylene-CO, C1-6 alkylene] or pharmacol. acceptable salts thereof. These compds. are useful for the treatment or prevention of gonadotropin-releasing hormone-related diseases such as sex hormone-dependent cancer, prostate cancer, uterine cancer, breast cancer, prostatic hypertrophy, true precocious puberty, endometriosis, hysteromyoma, pregnancy regulators, and menstruation regulators. Thus, 5-amino-2-(4-methoxyphenyl)benzimidazole was condensed with 4-pyrrolidinobenzoic acid using di-Et cyanophosphate in the presence of Et3N and 4-dimethylaminopyridine in DMF at room temperature for 1 h to give 41% 2-(4-methoxyphenyl)-5-((4-pyrrolidinobenzoyl)amino)benzimidazole (II). II in vitro showed IC50 of μg/mL for inhibiting the binding of [125I]leuprolelin to a membrane sample of CHO cell expressing human GnRH receptor.

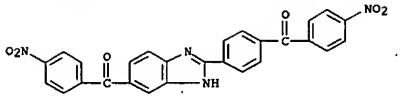
ACCESSION NUMBER: 2000:214835 CAPLUS
DOCUMENT NUMBER: 132:265201
TITLE: Preparation of imidazole derivatives as gonadotropin-releasing hormone antagonists
INVENTOR(S): Suzuki, Nobuhiro; Takekawa, Shiro; Kubo, Keiji; Imaeda, Yasuhiro
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 79 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000095767	A2	20000404	JP 1998-273013	19980928
PRIORITY APPLN. INFO.:			JP 1998-273013	19980928

OTHER SOURCE(S): MARPAT 132:265201

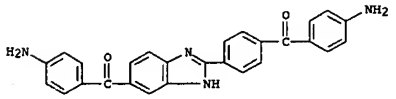
IT 263020-46-8P 263020-53-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of imidazole derivs. as gonadotropin-releasing hormone antagonists for drugs)
RN 263020-46-8 CAPLUS
CN Methanone, [4-[5-(4-nitrobenzoyl)-1H-benzimidazol-2-yl]phenyl](4-nitrophenyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



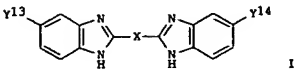
● HCl

RN 263020-53-7 CAPLUS
CN Methanone, [4-[5-(4-aminobenzoyl)-1H-benzimidazol-2-yl]phenyl](4-aminophenyl)-, trihydrochloride (9CI) (CA INDEX NAME)



●3 HCl

L4 ANSWER 15 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 24 Mar 2000
GI



I

AB Title compds., e.g., [I; X = (unsatd.) alkyl, (substituted) aryl; Y13, Y14 = (R41R42N)R40N:C; R40, R42 = H, alkyl, cycloalkyl, (substituted) aryl; R40R42 = alkyl, hydroxyalkyl, alkylene, (substituted) aryl; R41 = H, OH, alkyl, alkoxyalkyl, aminoalkyl, alkylamino, cycloalkyl, hydroxycycloalkyl, aryl, aralkyl, etc.], were prepared as antifungals (no data). Thus, furan-2,5-dicarboxaldehyde, 4-amidino-1,2-phenylenediamine hydrochloride, and 1,4-benzoquinone were refluxed 4 h to give 52% 2,5-bis[2-(5-amidino)benzimidazolyl]furan hydrochloride.

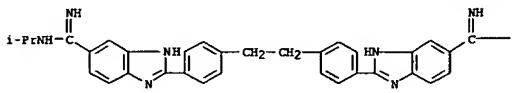
ACCESSION NUMBER: 2000:190915 CAPLUS
DOCUMENT NUMBER: 132:237091
TITLE: Preparation of bis(amidinobenzimidazolyl)furans, -pyrroles, and related compounds as antifungals.
INVENTOR(S): Tidwell, Richard R.; Boykin, David W.; Perfect, John R.
PATENT ASSIGNEE(S): The University of North Carolina at Chapel Hill, USA; The Georgia State University Research Foundation, Inc.; Duke University
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000015212	A2	20000323	WO 1999-US21383	19990915
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, CZ, DE, DE, DK, DK, EE, EE, ES, FI, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2344445	AA	20000323	CA 1999-2344445	19990915
AU 9960450	A1	20000403	AU 1999-60450	19990915
AU 770656	B2	20040226		
EP 1143959	A2	20011017	EP 1999-969025	19990915
EP 1143959	A3	20020619		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 6326395	B1	20011204	US 1999-396836	19990915
JP 2002524503	T2	20020806	JP 2000-569796	19990915
PRIORITY APPLN. INFO.:			US 1998-100928P P	19980917

L4 ANSWER 15 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
WO 1999-US21383 W 19990915

OTHER SOURCE(S): MARPAT 132:237091
IT 261778-53-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bis(amidinobenzimidazolyl)furans, -pyrroles, and related compds. as antifungals)
RN 261778-53-4 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2,2'-(1,2-ethanediyldi-4,1-phenylene)bis[N-(1-methylethyl)-, tetrahydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A

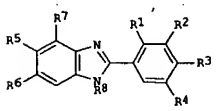


●4 HCl

PAGE 1-B

—NHPr-i

L4 ANSWER 16 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 22 Mar 1999
GI



I

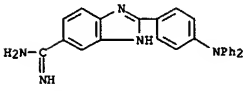
AB Benzimidazoles I [R1 = H, OH, alkoxy; R2, R3, R4 = H, OH, alkyl, CF3, halo, etc.; R5 = H, amino, amidino; R6 = nitro, C(NHR9):NR10; R7 = H, amino, nitro; R8 = H, Me], antibacterial compds., were prepared These compds. are effective in inhibiting the action of a bacterial histidine protein kinase and are useful as anti-infective agents against a variety of bacterial organisms, including organisms which are resistant to other known antibiotics. E.g., 3,4-diaminobenzimidate, prepared from 3,4-diaminobenzonitrile, was treated with NH3/EtOH, then with 4-Me3CC6H4CHO to give 2-[4-(1,1-dimethylethyl)phenyl]-2H-benzimidazole-5-carboximidamide.

ACCESSION NUMBER: 1999:184240 CAPLUS
DOCUMENT NUMBER: 130:209707
TITLE: Preparation of 2-substituted phenyl-benzimidazole antibacterial agents
INVENTOR(S): Ohemeng, Kwasi Adomako; Nguyen, Van Nhatton
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

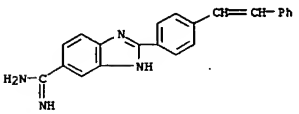
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9911627	A1	19990311	WO 1998-US18586	19980904
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 5942532	A	19990824	US 1997-924558	19970905
AU 9893054	A1	19990322	AU 1998-93054	19980904
PRIORITY APPLN. INFO.:			US 1997-924558 A	19970905
			WO 1998-US18586 W	19980904

OTHER SOURCE(S): MARPAT 130:209707
IT 220954-82-5P 220954-89-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylbenzimidazoles as antibacterial agents)
RN 220954-82-5 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2-[4-(diphenylamino)phenyl]- (9CI)

L4 ANSWER 16 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(CA INDEX NAME)



RN 220954-89-2 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2-[4-(2-phenylethenyl)phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 21 Oct 1998
GI

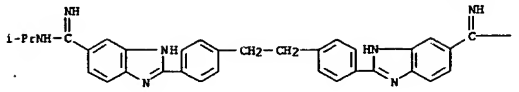
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Twenty analogs of pentamidine (including I), 7 primary metabolites of pentamidine, and 30 dicationic substituted bisbenzimidazoles were screened for their inhibitory and fungicidal activities against Candida albicans and Cryptococcus neoformans. A majority of the compds. had MICs at which 80% of the strains were inhibited (MIC80s) comparable to those of amphotericin B and fluconazole. Unlike fluconazole, many of these compds., such as II and III, were found to have potent fungicidal activity. The most potent compound against C. albicans had an MIC80 of ≤0.09 μg/mL, and the most potent compound against C. neoformans had an MIC80 of 0.19 μg/mL. Selected compds., such as IV, were also found to be active against Aspergillus fumigatus, Fusarium solani, Candida species other than C. albicans, and fluconazole-resistant strains of C. albicans and C. neoformans. It is clear from the data presented here that further studies on the structure-activity relationships, mechanisms of action and toxicities, and in vivo efficacies of these compds. are warranted to determine their clin. potential.

ACCESSION NUMBER: 1998:664985 CAPLUS
DOCUMENT NUMBER: 130:22732
TITLE: Structure-in vitro activity relationships of pentamidine analogs and dication-substituted bis-benzimidazoles as new antifungal agents
AUTHOR(S): Del Poeta, Maurizio; Schell, Wiley A.; Dykstra, Christine C.; Jones, Susan; Tidwell, Richard R.; Czarny, Agnieszka; Bajic, Miroslav; Bajic, Marina; Kumar, Arvind; Boykin, David; Perfect, John R.
CORPORATE SOURCE: Department of Medicine, Division of Infectious Diseases and International Health, Duke University Medical Center, Durham, NC, 27710, USA
SOURCE: Antimicrobial Agents and Chemotherapy (1998), 42(10), 2495-2502
CODEN: AMACCQ; ISSN: 0066-4804
PUBLISHER: American Society for Microbiology
DOCUMENT TYPE: Journal
LANGUAGE: English
IT **216503-05-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(structure-in vitro activity relationships of pentamidine analogs and dication-substituted bis-benzimidazoles as new antifungal agents)
RN 216503-05-8 CAPLUS
CN 1H-Benzimidazole-5-carboximidamide, 2,2'-(1,2-ethanediyldi-4,1-phenylene)bis[N-(1-methylethyl)- (9CI) (CA INDEX NAME)

L4 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A



PAGE 1-B

—NHPr-i

REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

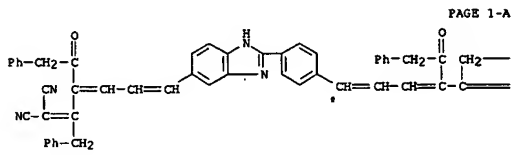
L4 ANSWER 18 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 15 Jun 1991
GI For diagram(s), see printed CA Issue.
AB The title photoreceptor comprises a layer containing a compound I [X = (substituted) aryl, arylene, heterocycle, di- or triarylamino; R, R1 = (substituted) alkyl, aryl, aralkyl, alkoxy, OH; R and R1 may form a cyclic alkyl; Z, Z1 = O, S, C(CN)2; m = 1-3; n = 0-2]. The photoreceptor shows good photosensitivity and stable potential in repeated use. Thus, a photoreceptor was prepared by using an Al support, a charge-generating layer containing II, and a charge-transporting layer containing a hydrazone compound

ACCESSION NUMBER: 1991:237611 CAPLUS
DOCUMENT NUMBER: 114:237611
TITLE: Electrophotographic photoreceptor using new photoconductive material
INVENTOR(S): Miyazaki, Hajime; Go, Shintetsu; Takai, Hideyuki; Inai, Kazufumi
PATENT ASSIGNEE(S): Canon K. K., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02244059	A2	19900928	JP 1989-63560	19890317
PRIORITY APPLN. INFO.:			JP 1989-63560	19890317

OTHER SOURCE(S): MARPAT 114:237611
IT **133890-83-2**
RL: USES (Uses)
(charge-generating agent, electrophotog. photoreceptor using)
RN 133890-83-2 CAPLUS
CN Propanedinitrile, 2,2'-[1H-benzimidazole-2,5-diylbis[2-(phenylacetyl)-1-(phenylmethyl)-2,4-pentadien-5-yl-1-ylidene]]bis- (9CI) (CA INDEX NAME)

PAGE 1-A



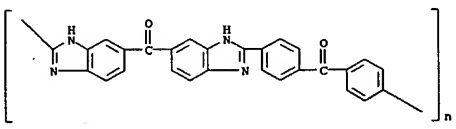
PAGE 1-B

L4 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
AB 3,3',4,4'-Tetraaminodiphenyl ketone and 4,4'-dicarboxydiphenyl ketone are polymerized in presence of aromatic diamine at 60-250° to give the title polymer [61386-21-8] with increased hydrolytic stability and increased heat resistance.

ACCESSION NUMBER: 1977:56166 CAPLUS
DOCUMENT NUMBER: 86:56166
TITLE: Poly(benzimidazoles)
INVENTOR(S): Korshak, V. V.; Cherkasov, M. V.; Vorob'ev, V. D.; Izyneev, A. A.; Markov, A. D.
PATENT ASSIGNEE(S): USSR
SOURCE: U.S.S.R. From: Otkrytiya, Izobret., Prom. Obraztsy, Tovarnye Znaki 1976, 53(39), 61.
CODEN: URXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
SU 532608	T	19761025	SU 1974-2084720		19741209
PRIORITY APPLN. INFO.:			SU 1974-2084720	A	19741209

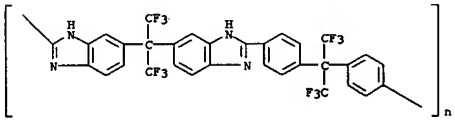
IT **61386-21-8P**
RL: PREP (Preparation)
(heat- and hydrolysis-resistant, manufacture of)
RN 61386-21-8 CAPLUS
CN Poly(1H-benzimidazole-2,5-diylcarbonyl-1H-benzimidazole-5,2-diyl-1,4-phenylenecarbonyl-1,4-phenylene) (9CI) (CA INDEX NAME)



L4 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
AB The title polymers were produced by melt polycondensation of aromatic tetramines with aromatic dicarboxylic acid diphenyl esters (I). To improve the thermal stability and solubility of the polymers, 2,2-bis(3,4-diaminophenyl)hexafluoropropane was used for the tetramine, and 2,2-bis(4-carboxyphenyl)hexafluoropropane was used for the di-Ph ester.
ACCESSION NUMBER: 1976:593316 CAPLUS
DOCUMENT NUMBER: 85:193316
TITLE: Fluorinated polybenzimidazoles
INVENTOR(S): Korshak, V. V.; Tseitlin, G. M.; Ustinova, M. S.; Cherkasov, M. V.; Vorob'ev, V. D.; Livshits, B. R.
PATENT ASSIGNEE(S): USSR
SOURCE: U.S.S.R. From: Otkrytiya, Izobret., Prom. Obraztsy, Tovarnye Znaki 1976, 53(33), 78-9.
CODEN: URXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 527453	T	19760905	SU 1975-2118825	19750327
PRIORITY APPLN. INFO.:			SU 1975-2118825 A	19750327

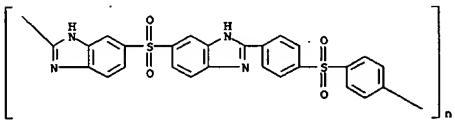
IT **61016-85-1P**
RL: PREP (Preparation)
(preparation of)
RN 61016-85-1 CAPLUS
CN Poly[1H-benzimidazole-2,5-diyl[2,2,2-trifluoro-1-(trifluoromethyl)ethylidene]-1H-benzimidazole-5,2-diyl-1,4-phenylene[2,2,2-trifluoro-1-(trifluoromethyl)ethylidene]-1,4-phenylene] (9CI) (CA INDEX NAME)



L4 ANSWER 21 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
GI For diagram(s), see printed CA Issue.
AB The polymerization of arom tetramines with dinitriles in the presence of acid ammonium salts for 1-3 hr at .apprx.200-400° gave high mol. weight polybenzimidazoles having high m.p. and thermal stability. Thus, a mixture of 3,3'-diaminobenzidine 6.24, isophthalonitrile 3.85, and ammonium chloride 0.50 g was heated in a sealed vessel containing N for 1 hr at 330°, kept for 3 hr, and cooled to room temperature to recover 9.15 aromatic polybenzimidazole (I) (soluble in H2SO4, HCOOH, and dimethyl sulfoxide) with 0.84 dl./g reduced viscosity in concentrated H2SO4 at 30°, and without weight loss at 540°.
ACCESSION NUMBER: 1975:515351 CAPLUS
DOCUMENT NUMBER: 83:115351
TITLE: Aromatic polybenzimidazoles
INVENTOR(S): Ohfuji, Yoshio; Eguchi, Tamotsu
PATENT ASSIGNEE(S): Kuraray Co., Ltd.
SOURCE: U. S. Reissue, 4 pp. Reissue of U.S. 3,655,632 (CA 77:20425k).
CODEN: UUXXA2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

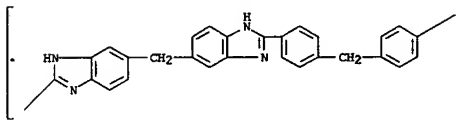
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 28197		19741015	US 1972-72537	19720411

IT **31988-41-7P 32985-36-7P**
RL: IMF (Industrial manufacture); PREP (Preparation)
(manufacture of, catalysts for)
RN 31988-41-7 CAPLUS
CN Poly(1H-benzimidazole-2,5-diylsulfonyl-1H-benzimidazole-5,2-diyl-1,4-phenylenesulfonyl-1,4-phenylene) (9CI) (CA INDEX NAME)



RN 32985-36-7 CAPLUS
CN Poly(1H-benzimidazole-2,5-diylmethylene-1H-benzimidazole-5,2-diyl-1,4-phenylenemethylene-1,4-phenylene) (9CI) (CA INDEX NAME)

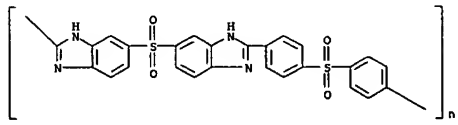
L4 ANSWER 21 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



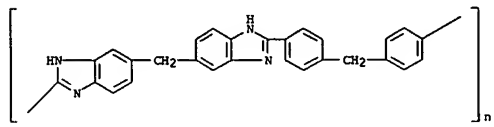
L4 ANSWER 22 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
AB High mol. weight title polymers were prepared in a one-step process by heating a mixture containing an aromatic tetramine and an aromatic dinitrile in the presence of 11 NH4+ salts of inorg. or organosulfonic acids. Thus, a mixture containing 3,3'-diaminobenzidine 6.24, isophthalonitrile 3.85, and ammonium chloride [12125-02-9] 0.50 g was heated 1 hr at .leq. 330.deg. and 3 hr at 330.deg. to give a copolymer (I) [32756-72-2] of reduced viscosity 0.84 dl/g (0.5 g/dl in H2SO4 at 30.deg.), compared with 0.32 dl/g for I similarly prepared without NH4Cl. Other copolymers similarly prepared were 4,4'-dicyanodiphenyl ether-3,4,3',4'-tetraminodiphenyl ether copolymer [34766-31-9] and 1,6-dicyanonaphthalene-1,2,5,6-tetraminonaphthalene copolymer [34802-97-6].
ACCESSION NUMBER: 1972:420425 CAPLUS
DOCUMENT NUMBER: 77:20425
TITLE: Aromatic polybenzimidazoles
INVENTOR(S): Ohfuji, Yoshio; Eguchi, Tamotsu
PATENT ASSIGNEE(S): Kuraray Co., Ltd.
SOURCE: U.S., 4 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 3655632	A	19720411	US 1970-72537	19700915
US 28197	E	19741015	US 1973-419456	19731127
PRIORITY APPLN. INFO.:			US 1970-72537 A	19700915

IT **31988-41-7P 32985-36-7P**
RL: IMF (Industrial manufacture); PREP (Preparation)
(manufacture of, catalysts for)
RN 31988-41-7 CAPLUS
CN Poly(1H-benzimidazole-2,5-diylsulfonyl-1H-benzimidazole-5,2-diyl-1,4-phenylenesulfonyl-1,4-phenylene) (9CI) (CA INDEX NAME)



RN 32985-36-7 CAPLUS
CN Poly(1H-benzimidazole-2,5-diylmethylene-1H-benzimidazole-5,2-diyl-1,4-phenylenemethylene-1,4-phenylene) (9CI) (CA INDEX NAME)

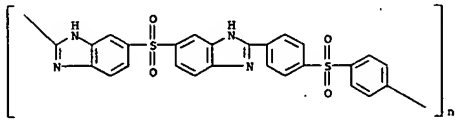


L4 ANSWER 22 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L4 ANSWER 23 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
GI For diagram(s), see printed CA Issue.
AB High mol. weight, thermally stable aromatic polybenzimidazoles (I) where R is an aromatic tetraamine residue and R1 is an aromatic dinitrile residue are prepared by polycondensation of an aromatic tetraamine containing 2 ortho pairs of amino groups with an aromatic dinitrile in the presence of NH4 salts at 200-400°. A mixture of 3,3'-diaminobenzidine, isophthalonitrile, and NH4Cl are heated to 330° over 1 hr under N, 3 hr at 330°, and 2 hr at 100° under reduced pressure to yield a polybenzimidazole, reduced viscosity 0.84 dl/g at 0.5 g/dl and 30° in H2SO4. The polymer is stable at 540°. Other polybenzimidazoles are prepared using various tetraamines including 3,3',4,4'-tetraaminodiphenyl ether and 2,3,6,7-tetraminonaphthalene, various dinitriles including 4,4'-dicyanodiphenyl ether and 2,6-dicyanonaphthalene and by using various NH4 salts as catalysts including (NH4)2SO4, C6H5SO3NH4, and p-MeC6H4SO3NH4.
ACCESSION NUMBER: 1971:437038 CAPLUS
DOCUMENT NUMBER: 75:37038
TITLE: Aromatic polybenzimidazoles
INVENTOR(S): Ohfuji, Yoshio; Eguchi, Tamotsu
PATENT ASSIGNEE(S): Kuraray Co., Ltd.
SOURCE: Ger. Offen., 20 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

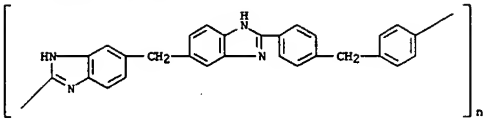
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2042623	B2	19730215	DE 1970-2042623	19700827
DE 2042623	C3	19730927		
GB 1266269	A	19720308	GB 1970-1266269	19700907
FR 2062289	A5	19710625	FR 1970-33796	19700917

PRIORITY APPLN. INFO.: JP 1969-73735 A 19690917
IT **31988-41-7P 32985-36-7P**
RL: IMF (Industrial manufacture); PREP (Preparation)
(manufacture of, heat-resistant)
RN 31988-41-7 CAPLUS
CN Poly(1H-benzimidazole-2,5-diylsulfonyl-1H-benzimidazole-5,2-diyl-1,4-phenylenesulfonyl-1,4-phenylene) (9CI) (CA INDEX NAME)



RN 32985-36-7 CAPLUS
CN Poly(1H-benzimidazole-2,5-diylmethylene-1H-benzimidazole-5,2-diyl-1,4-phenylenemethylene-1,4-phenylene) (9CI) (CA INDEX NAME)

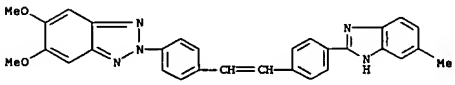
L4 ANSWER 23 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)



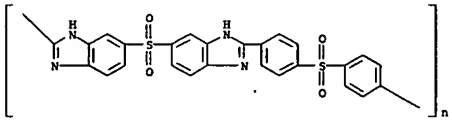
L4 ANSWER 24 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
GI For diagram(s), see printed CA Issue.
AB I (R = 5-methylbenzimidazol-2-yl), prepared by condensation of I (R = CO2H) with 3,4-(H2N)2C6H3Me is a lightfast fluorescent whitener for polypropylene fibers.
ACCESSION NUMBER: 1970:446692 CAPLUS
DOCUMENT NUMBER: 73:46692
TITLE: Fluorescent whitening agents for polypropylene textiles
INVENTOR(S): Teramura, Kazuhiro; Okada, Hitoshi; Imahori, Seiichi; Hayakashi, Masayuki
PATENT ASSIGNEE(S): Ube Nitto Chemical Industry Co., Ltd.; Mitsubishi Chemical Industries Co., Ltd.
SOURCE: Jpn. Tokkyo Koho, 4 pp.
CODEN: JAXXAD
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 45003914	B4	19700209	JP	19641027

IT **28545-99-5P**
RL: IMF (Industrial manufacture); PREP (Preparation)
(preparation of)
RN 28545-99-5 CAPLUS
CN 2H-Benzotriazole, 5,6-dimethoxy-2-[p-[p-(5-methyl-2-benzimidazolyl)styryl]phenyl]- (8CI) (CA INDEX NAME)



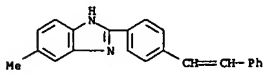
L4 ANSWER 25 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
AB cf. CA 62: 11922b, 16393h. Four new polybenzimidazoles with aryl sulfone linkages between the recurring units were prepared in order to study their thermal stability and solubility The polymers prepared were high-mol.-weight materials with good thermal stability.
ACCESSION NUMBER: 1967:433029 CAPLUS
DOCUMENT NUMBER: 67:33029
TITLE: Polybenzimidazoles. VI. Polybenzimidazoles containing aryl sulfone linkages
AUTHOR(S): Lakshminarayan, T. V.; Marvel, Carl S.
CORPORATE SOURCE: Univ. of Arizona, Tucson, AZ, USA
SOURCE: Journal of Polymer Science, Polymer Chemistry Edition (1967), 5(5), 1113-18
CODEN: JPLCAT; ISSN: 0449-296X
DOCUMENT TYPE: Journal
LANGUAGE: English
IT 31988-41-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 31988-41-7 CAPLUS
CN Poly(1H-benzimidazole-2,5-diylsulfonyl-1H-benzimidazole-5,2-diyl-1,4-phenylenesulfonyl-1,4-phenylene) (9CI) (CA INDEX NAME)



L4 ANSWER 26 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 22 Apr 2001
GI For diagram(s), see printed CA Issue.
AB Compds. of general formula I, useful as optical brighteners for polyolefin, polyester, polyvinyl, and polyacrylonitrile fibers, are prepared Thus, a mixture of 4-PhCH:CHC6H4COCl 6.5 and 2-O2NC6H4OH 3.5 was stirred for 5 hrs. at 110-20° under N, HCONMe2 50 added, the mixture cooled to room temperature, H2O 100 added, and the precipitate filtered and washed with H2O 100 to give 4-PhCH:CHC6H4CO2C6H4NO2-2 (II) 8 parts, m. 230°. II 4 in MeOCH2CH2OH 100 was treated at 80° with SnCl2 15 in 36% HCl 28, stirred for 3 hrs. at 110°, and mixed with 10% NaOH 400 to precipitate bright yellow I (R1 = R2 = R3 = R4 = H, X = O) 3.5 parts, m. 196.6-7.2° (EtOH). Other I are prepared similarly (R1, R2, R3, R4, X, and m.p. given): H, H, tert-Bu, H, O, 174.6-5.2° (aqueous EtOH); H, H, H, H, NH, 267.5-8.5° (aqueous EtOH); H, H, Me, Me, O, 229.6-30.2° (aqueous HCONMe2); H, H, Me, H, O, 179.6-80.2° (aqueous EtOH); H, H, H, Me, NH, 236-6.5° (aqueous EtOH); H, R2 + R3 = CH:CHCH:CH, H, NH, 220° (aqueous EtOH); MeO, H, H, H, NH, 274-6°; H, H, cyclohexyl, H, O, 112-13°; H, H, Ph, H, O, 236-6.5°; H, H, H, H, S, 229.5-30°; H, H, H, H, NCH2CH:CH2, >330°. A mixture of I (R1 - R4 = H, X = NH) is stirred for 1 hr. at 150° with pMeC6H4SO3Et 22 in HOCH2CH2OH 50, cooled to 18°, and treated with EtOH 80 parts gave III, m. 273-4°. The following intermediates were also prepared and isolated: 2-amino-4-tertbutylphenyl 4-stilbenecarboxylate-HCl, m. 182-3°; 4-PhCH:CHC6H4CONHC6H4NO2-2, m. 167-8°.
ACCESSION NUMBER: 1964:433134 CAPLUS
DOCUMENT NUMBER: 61:33134
ORIGINAL REFERENCE NO.: 61:5828b-f
TITLE: Azole optical brighteners
PATENT ASSIGNEE(S): CIBA Ltd.
SOURCE: 13 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 941048		19631106	GB	
CH 385782			CH	
US 3133916		1964	US	
PRIORITY APPLN. INFO.:			CH	19600602

IT 100732-48-7, Benzimidazole, 5(or 6)-methyl-2-(p-styrylphenyl)-
(preparation of)
RN 100732-48-7 CAPLUS
CN Benzimidazole, 5(or 6)-methyl-2-(p-styrylphenyl)- (7CI) (CA INDEX NAME)



L4 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 22 Apr 2001
AB o-O2NC6H4NH2 290 in PhNMe2 2860 at 50-5° was treated during 3-4 min. with (p-ClOCC6H4-CH:)2 (I) 305 in PhCl 3580 at 129-32°, kept for 1 hr. at 85-90°, stirred for 8 hrs. at 95-105°, cooled to 25°, and filtered, and the residue washed with 255 g. PhCl, 475 cc. MeOH, and water, and dried at 80-100° to give [p-(o-O2NC6H4NHCO)C6H4CH:]2 (II) 430 g., m. 305-7°. II 45 g. and MeO(CH2)2OH (III) 1125 cc. were refluxed, treated with SnCl2.2H2O 129 g. in concentrated HCl 255 cc., refluxed for 4 hrs., refrigerated overnight, and filtered. The resulting 4,4'-bis(2-benzimidazolyl)stilbene-2HCl (IV.2HCl) 41 g. was suspended in 95% EtOH 410 cc., treated with 50% aqueous NaOH 57 g., refluxed to solution, filtered, diluted with water about 4 l., and filtered. The residue was washed with water and dried in vacuo at 100° to yield IV 33 g., pale yellow solid, m. >400°. PhNMe2 61.0, 4,2-Me(O2N)-C6H3NH2 63.9, and PhCl 707 g. were heated for 5 min. at 50°, treated with I 60.4 in o-C6H4Cl2 588, heated for 1 hr. at 85-90° and for 24 hrs. at 95-100°, cooled to room temperature, and filtered. The residue was washed with PhCl 67, MeOH 97, 0.25% aqueous dodecylbenzyldimethylammonium chloride (V), and finally water and dried to yield (p-[4,2-Me(O2N)C6H3NHCO]C6H4CH:)2 (VI) 99 g., >320°. III 2250 cc. and VI 99 g. were refluxed, treated with SnCl2.2H2O 258 g. in concentrated HCl 510 cc. at such a rate as to maintain reflux, the mixture refluxed for 7 hrs., refrigerated overnight, and filtered. The residue was washed with 1% HCl 1 l. and then with water, the resulting crude 4,4'-bis[5(or 6)-methyl-2-benzimidazolyl]stilbene-2HCl (VII.2HCl) 86.7 g. was suspended in III 919 cc., heated to 60° with stirring, treated with 50% aqueous NaOH 36 g., heated slowly to reflux, and filtered. The residue was washed with boiling III 100 cc., and the combined filtrate and washings diluted with stirring with water 9 l. to yield VII 61.8 g. PhNMe2 61.0 g. and 4,2-MeO(O2N)C6H3NH2 70.6 g. in PhCl 640 cc. were treated at 50° slowly with stirring during 8 min. with I 61.1 g. in PhCl 467 cc., and the mixture processed in the usual manner and worked up to give 2-MeO analog (VIII) of VI 111.2 g., yellow solid, m. 311-18°. III 2324 cc. and VIII 104 g. were refluxed and reduced in the usual manner with SnCl2.2H2O 266 g. in concentrated HCl 527 cc. to yield 5(or 6)-MeO analog (IX) of VII 81.2 g.; it showed in Carbowax 200 a yellower and far more intense fluorescence than IV. 4,2-Cl(O2N)C6H3NH2 72.5 g., PhNMe2 61.0 g., and PhCl 640 cc. were treated during 5 min. with stirring at 50° with I 61.0 g. in hot (125-30°) PhCl 474 cc. and processed as above to give the 4-Cl analog (X) of VI 107.2 g. X 100 g. and III 2200 cc. were refluxed and treated in the usual manner with SnCl2.2H2O 252.3 g. in concentrated HCl 499 cc. to yield the 5(or 6)-Cl analog (XI) of VII 83.2 g. o-H2NC6H4NMe 48 g., PhCl 294 cc., Na2CO3 46.6 g., and water 117 cc. were treated slowly at 85° during about 20 min. with I 51.7 g. in PhCl 717 cc., refluxed for 6 hrs. while being kept alkaline by the occasional addition of a small amount of aqueous Na2CO3, cooled to 5°, and filtered to give o-MeNH analog (XII) of [p-(o-H2NC6H4NHCO)C6H4CH:]2 (XIII) 41.0 g. XII 41.0 g. and III 1158 cc. were refluxed, treated dropwise with stirring with concentrated HCl 115.8 cc., refluxed for 1 hr., distilled to remove about 2/3 of the III, refrigerated overnight, and filtered to yield 1-Me isomer (XIV) of VII.2HCl 24.4 g. The XIV.2HCl and III 965 cc. were refluxed, treated slowly with stirring with concentrated HCl 450 cc., poured with stirring into 50% aqueous NaOH 550 g. and 95% EtOH 500 cc., and distilled to remove distillate 574 cc. The residue was cooled to 50°, treated very slowly with stirring with water 1250 cc., cooled with stirring to room temperature, and filtered to yield XIV. IV 10.3 g. in III 100 cc. was treated with 50% aqueous NaOH 4 cc., heated to 65°, treated with Me2SO4 3.14 g., heated for 3 hrs. at 70°, treated with water 1.5 cc., cooled to 20°, and filtered. The residue was washed and dried at 70° to yield 4-(2-benzimidazolyl)-4'-(1-methyl-2-benzimidazolyl)stilbene (XV). IV 8.7 g., III 65 cc., and 50% aqueous NaOH 4.46 cc. were treated at 65° with Me2SO4 3.19 g., heated for 1 hr. at 70°, treated

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again with Me2SO4 3.19 g., refluxed for 1.5 hrs., dild. with water 150 cc., cooled to about 25°, and filtered. The residue was washed and dried at 75° to yield XIV 8.1 g. IV 4.84 g., III 20 cc., and 50% aq. NaOH 3.0 g. were heated to soln., cooled to about 50°, treated with ClCH2CO2H 0.95 g., refluxed for 2 hrs., cooled to about 50°, treated again with ClCH2CO2H 0.95 g., refluxed for 2 hrs., cooled to 25°, treated dropwise with water 50 cc., and filtered. The residue was washed with water about 60 cc. and dried to give 4-(2-benzimidazolyl)-4'-(1-carboxymethyl-2-benzimidazolyl)stilbene 3.2 g. XV 4.26 g., 50% aq. NaOH 1 cc., and III 25 cc. were treated similarly to yield 4-(1-carboxymethyl-2-benzimidazolyl)-4'-(1-methyl-2-benzimidazolyl)stilbene 4.6 g. IV 4.1 g., 50% aq. NaOH 1.6 g., and III 25 cc. were treated at 50° with CH2:CHCN 0.53 g., heated for 2 hrs. at 50°, refluxed for 2 hrs. with water 5 cc., cooled to 50°, dild. with water 200 cc., and filtered to give 4-(2-benzimidazolyl)-4'-[1-(2-carboxyethyl)-2-benzimidazolyl]stilbene. IV 4.1 g., 50% aq. NaOH 1.6 g., and III 25 cc. were treated at 50° with CH2:CHCN 2.64 cc., heated for 2 hrs. at 50°, treated with 50% aq. NaOH 1.6 g. and water 5 cc., refluxed for 2 hrs., cooled to 50°, dild. with water 200 cc., and filtered to yield 4,4'-bis[1-(2-carboxyethyl)-2-benzimidazolyl]stilbene, which showed an especially high substantivity to cellulose acetate. XV 4.26 g., 30% aq. NaOH 0.8 g., and III 25 cc. were treated at 50° with CH2:CHCN 0.53 g. and CuCl about 20 mg., heated 2 hrs. at 50°, and sapond. similarly to give 4-[1-(2-carboxyethyl)-2-benzimidazolyl]-4'-(1-methyl-2-benzimidazolyl)stilbene, 4.3 g. IV 8.2, KOH 3.0 g., and EtO(CH2CH2O)2H 40 cc. were treated on the steam bath during 0.5 hr. with o-ClC6H4CH2Cl 8.0 g., heated for 15 min. at 150°, filtered hot, cooled to 25°, and filtered to give a mixt. 6.5 g., m. 270-80°, of N,N'-bis(o-chlorobenzyl) deriv. (XVI) of IV, and N-(o-chlorobenzyl) deriv. of IV; the mixt. recrystd. from HCONMe2 200 cc. and then from boiling o-C6H4Cl2 40 cc., washed with C6H6 and Et2O, and dried in vacuo at 100° yielded XVI 2.5 g., m. 280°. IV 60 g., III 280 cc., and 50% aq. NaOH 39 g. were treated dropwise at 50° during 1 hr. with CH2:CHCH2Cl 12 g., refluxed for 16 hrs., dild. with water 280 cc., and filtered. The residue was washed with water and dissolved in HCONMe2 400 cc., filtered, poured into water, and filtered to give the purified N-CH2:CHCH2 deriv. (XVII) of IV, yellow solid. XVII treated similarly with 1 mole equiv. CH2:CHCH2Cl yielded N,N'-diallyl deriv. of IV. IV 4.1, III 16.5, and 50% aq. NaOH 1.8 g. refluxed 1 hr. with ClCH2CH2OH 1.7 g., concd. on the water bath to about 1/2 the original vol., dild. with H2O 30 cc., cooled to room temp., and filtered yielded N-CH2CH2OH deriv. of IV, yellow solid, >350°. VII 8.3, III 32.0, and 50% aq. NaOH 5.2 g. were treated at 50° with stirring with HOCH2CH(OH)CH2Cl (XVIII) 2.08 g., refluxed for 1.5 hrs., treated again with XVIII 2.08 g., refluxed for 1.5 hrs. cooled, dild. with warm (50°) water 575 cc., and filtered to a mixt. of the N-HO-CH2CH(OH)CH2 and N-H[OCH2CH(OH)CH2]2 derivs. of IV contg. a small amt. of unchanged IV. IX 10.8, 50% aq. NaOH 5.15, and III 32.0 g. were treated at 50° with stirring with XVIII 2.08 g. The resulting mixt. was treated again with XVIII 2.08 g., refluxed for 1.5 hrs., cooled, dild. with water 290 cc. (50°), and filtered to give the crude N-H-[OCH2CH(OH)CH2]2 deriv. of IX 12 g., yellow solid. XI 50, 50% aq. NaOH 50 g., III 209, and 2 portions of XVIII, 11.5 g. each, gave similarly the N-H[OCH2CH(OH)CH2]2 deriv. of XI 54.6 g., yellow solid. IV 82, III 394, and 50% aq. NaOH 32 g. were treated in the usual manner with HO(CH2)2OCH2CH(OH)CH2Cl (XIX) 30.8 g. and the resulting mixt. treated again with XIX 6.16 g. yielded in the usual manner the crude N-H[O(CH2)2OCH2CH(OH)CH2] deriv. of IV 96 g., pale yellow solid. IV 8.2 g., III 33 cc., 50% aq. NaOH 4.0 g., and HOCH2CH2OCH2CH(OH)CH2Cl (XX) 6.1 g. were refluxed for 2 hrs., treated at 50° with addnl. XX 1.2 g., refluxed again for 2 hrs., and worked up in the usual manner to yield N-HOCH2CH2OCH2CH(OH)CH2 deriv. (XXI) of IV 9.6 g., yellow solid. IV 8.2

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g., III 33 cc., and 50% aq. NaOH 4.0 g. treated successively in the usual manner with HO(CH2CH2O)2CH2CH(OH)CH2Cl (XXII) 7.25 g. and 1.4 g. yielded N-HO-(CH2)2O(CH2)2OCH2CH(OH)CH2 deriv. (XXIII) of IV 9.8 g., yellow solid. N-HO(CH2)2OCH2CH(OH)CH2 deriv. of IV 10.6 g., 95% EtOH 90 cc., and 50% aq. NaOH 4.5 g. treated for 45 min. at 75° with gaseous ethylene oxide 6.3 g., cooled to 40°, dild. slowly with water 300 cc. at 40°, cooled to 20°, and filtered gave XXIII 11.6 g. IV.2HCl 4.84 g., III 25 cc., 50% aq. NaOH 3.6 g., and NaO3SCH2CH(OH)CH2Cl 4.4 g. gave in the usual manner the Na salt of the N-HO3SCH2CH(OH)CH2 deriv. of IV 5 g. XI 10.0 g., III 41.8 cc., and 50% aq. NaOH 5.7 g. gave in the usual manner with XXII (2 successive 6.0-g. portions) 4-[5(or 6)-chloro-2-benzimidazolyl]-4'-[1-(2,12,19-trihydroxy-4,7,10,14,17-pentaoxanonadecyl)-5(or 6)-chloro-2-benzimidazolyl]stilbene 11.3 g., yellow powder. Crude XV 8.0 g., III 80 cc., and 50% aq. NaOH 2.98 g. treated in the usual manner with 2 successive portions 2.87 g. each of XIX, processed, and worked up in the usual manner yielded crude N'-HO(CH2)2OCH2CH(OH)CH2 deriv. of XV 10.5 g. contg. some N'-HO(CH2)2OCH2CH(OH)CH2O(CH2)2OCH2CH(OH)CH2 deriv. of XV. IV 317, III 1330, 50% aq. NaOH 214 g. treated at 50° with XVIII 86.5 g., and refluxed for 1.5 hrs. gave the N-HOCH2CH(OH)CH2 deriv. (XXIV) of IV, yellow solid. A similar reaction mixt., cooled to 42°, was treated with XVIII 86.5 g., refluxed for 1.5 hrs., treated slowly with water 465 cc., heated to distill the solvent 2050 cc., dild. with warm (60°) water 2200 cc., cooled to 25°, and filtered to yield XXI 415 g., yellow solid. XXI 5 g. in glacial AcOH 30 was stirred for 1 hr., filtered from some insol. IV diacetate, dild. with water 200 cc., and centrifuged. The supernatant liquid was made alk. with NH4OH, boiled, cooled, and filtered. The residue 2.7 g. was washed, suspended in 95% EtOH 150 cc., boiled for 15 min., and filtered hot. The residue was washed with a few cc. EtOH, the combined filtrate and washing concd. to about 75 cc., dild. with a small amt. water to beginning crystn., cooled, and filtered, and the residue recrystd. twice from HCONMe2 and once from EtO(CH2)2OH to yield pure XXI, m. 225°. Crude XXI 120 g., III 360 cc., and 50% aq. aq. NaOH heated to 74° to soln., a 0.1-cc. sample mixed with 95% EtOH 35 cc., and a 1-cc. aliquot of the resulting soln. treated dropwise with 0.15 cc. 0.01 N HNO3, dild. with water 2.0 cc., treated with a soln. of 8 drops Cr(NO3)3.9H2O (1.0 g. in H2O 206 cc.) yielded a yellow color which indicated the presence of IV; the crude XXI treated at 74-80° with ethylene oxide 22.1 g., dild. slowly with water 1500 cc. at 50°, treated with ice 400 g., and filtered gave a mixt. of O- and N'-hydroxyethylated derivs. of XXI 118 g., yellow product. XXIV 15 g., III 75 cc., and 50% aq. NaOH 3.0 g. gave similarly with ethylene oxide 9.5 g. hydroxyethylated XXIV 14.5 g., yellow powder, which whitened dried detergent powders. Examples for the use of some of the stilbene derivs. as whitening agents in soap and detergent powders are given.

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